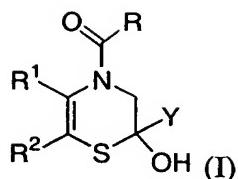


What is claimed:

1. A compound of the formula:



wherein:

R is hydrogen, methyl, hydroxymethyl or α -hydroxyethyl;

R¹ and R² are independently selected from hydrogen, C₁-C₆ alkyl, C₁-C₆ hydroxyalkyl, C₃-C₈ cycloalkyl, C₁-C₆ alkenyl, C₁-C₆ alkynyl, amino, monoalkylamino, dialkylaminoalkyl, and pyrrolidin-1-ylalkyl; and

Y is selected from the group consisting of C₁-C₆ alkyl, substituted and unsubstituted aryl;

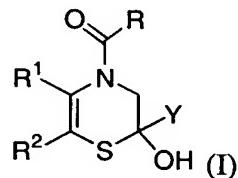
with the provisos that:

- (a) if Y is aryl, then at least one of R¹ and R² is other than hydrogen, and
- (b) if R² is hydrogen R¹ is other than methyl;

or a pharmaceutically acceptable salt thereof.

2. The compound of claim 1, wherein R¹ and R² are independently selected from C₁-C₆ alkyl, C₁-C₆ hydroxyalkyl, C₃-C₈ cycloalkyl, C₁-C₆ alkenyl, C₁-C₆ alkynyl, amino, monoalkylamino, dialkylaminoalkyl, and pyrrolidin-1-ylalkyl.
3. The compound of claim 2, wherein R is hydrogen, hydroxymethyl or α -hydroxyethyl.
4. The compound of claim 1, wherein at least one of R¹ and R² is C₁-C₆ alkyl.
5. The compound of claim 4, wherein at least one of R¹ and R² is methyl.
6. The compound of claim 4, wherein Y is selected from the group consisting of substituted and unsubstituted phenyl.
7. The compound of claim 6, wherein Y is unsubstituted phenyl.

8. The compound of claim 7; 2-hydroxy-5,6-dimethyl-2-phenyl-2,3-dihydro-(1,4)-thiazine-4-carbaldehyde.
9. The compound of claim 7, wherein R is α -hydroxyethyl and R^1 and R^2 are both methyl.
10. The compound of claim 1, wherein at least one of R^1 and R^2 is C₁-C₆ hydroxyalkyl.
11. The compound of claim 10, wherein at least one of R^1 and R^2 is 2-hydroxyethyl.
12. The compound of claim 1, wherein Y is selected from the group consisting of substituted and unsubstituted heteroaryl.
13. The compound of claim 12, wherein Y is selected from the group consisting of substituted and unsubstituted pyrrolyl, furyl, thienyl, 1-methylimidazoly-2-yl and 4,6-(bis-pyrrolidin-1-yl)-pyrimidin-2-yl.
14. The compound of claim 1, wherein Y is substituted aryl.
15. The compound of claim 14, wherein the substitutions of aryl are one to three substituents selected from amino; C₁-C₆ alkylamino; C₁-C₆ dialkylamino; C₁-C₆ alkoxy; C₁-C₆ alkyl; cyano; nitro; C₁-C₆ mono-, di-, or trifluoroalkyl; nitro; fluoro; chloro and bromo.
16. A pharmaceutical composition comprising a pharmaceutically effective amount of a compound of the formula:



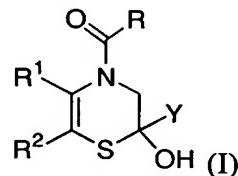
wherein:

R is hydrogen, methyl, hydroxymethyl or α -hydroxyethyl;

R^1 and R^2 are independently selected from hydrogen, C₁-C₆ alkyl, C₁-C₆ hydroxyalkyl, C₃-C₈ cycloalkyl, C₁-C₆ alkenyl, C₁-C₆ alkynyl, amino, monoalkylamino, dialkylaminoalkyl, and pyrrolidin-1-ylalkyl; and

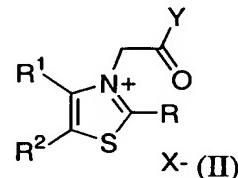
Y is selected from the group consisting of C₁-C₆ alkyl, substituted and unsubstituted aryl; or a pharmaceutically acceptable salt thereof; and a pharmaceutically acceptable carrier.

17. The pharmaceutical composition of claim 16, wherein at least one of R^1 and R^2 is other than hydrogen, and if R^2 is hydrogen R^1 is other than methyl.
18. The pharmaceutical composition of claim 17, wherein R is hydrogen, R^1 and R^2 are both methyl and Y is unsubstituted phenyl.
19. The pharmaceutical composition of claim 17, wherein R is α -hydroxyethyl, R^1 and R^2 are both methyl and Y is unsubstituted phenyl.
20. A method for preparing a compound of the formula:



comprising:

treating a thiazolium compound of the formula:



wherein:

R is hydrogen, methyl, hydroxymethyl or α -hydroxyethyl;

R^1 and R^2 are independently selected from hydrogen, C₁-C₆ alkyl, C₁-C₆ hydroxyalkyl, C₃-C₈ cycloalkyl, C₁-C₆ alkenyl, C₁-C₆ alkynyl, amino, monoalkylamino, dialkylaminoalkyl, and pyrrolidin-1-ylalkyl; and

Y is selected from the group consisting of a substituted and unsubstituted aryl; and

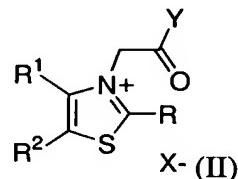
X- is an anion;

with the provisos that:

- (a) if Y is aryl, then at least one of R¹ and R² is other than hydrogen, and
- (b) if R² is hydrogen R¹ is other than methyl;

with an aqueous alkaline solution to afford the compound of the formula I.

21. The method of claim 20, wherein the pH of the aqueous alkaline solution is at least 8.
22. The method of claim 21, wherein the pH of the aqueous alkaline solution is between 9 and 11.
23. The method of claim 20, wherein R is hydrogen, R¹ and R² are both methyl and Y is unsubstituted phenyl.
24. A method for preparing a thiazolium compound of the formula:



wherein

R is hydrogen, methyl, hydroxymethyl or α-hydroxyethyl;

R¹ and R² are independently selected from hydrogen, C₁-C₆ alkyl, C₁-C₆ hydroxalkyl, C₃-C₈ cycloalkyl, C₁-C₆ alkenyl, C₁-C₆ alkynyl, amino, monoalkylamino, dialkylaminoalkyl, and pyrrolidin-1-ylalkyl; and

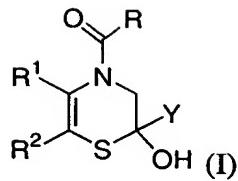
Y is selected from the group consisting of C₁-C₆ alkyl, substituted and unsubstituted aryl; and

X- is an anion;

with the provisos that:

- (a) if Y is aryl, then at least one of R¹ and R² is other than hydrogen, and
- (b) if R² is hydrogen R¹ is other than methyl;

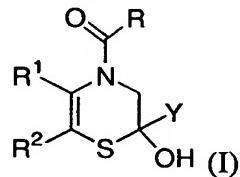
comprising: treating a compound of the formula:



with an acidic solution to afford the thiazolium compound of the formula II.

25. The method of claim 24, wherein the acidic solution comprises an aqueous 0.1 N to 10 N HCl solution.
26. The method of claim 24, wherein the acidic solution comprises gastric juice.
27. The method of claim 26, wherein R is hydrogen, R¹ and R² are both methyl and Y is unsubstituted phenyl.
28. The method of claim 24, wherein R is hydrogen, R¹ and R² are methyl, Y is unsubstituted phenyl, and the acidic solution comprises 1 N to 5 N hydrochloric acid.
29. The method of claim 24, wherein R is -CH(OH)CH₃, R¹ and R² are methyl and Y is unsubstituted phenyl.
30. A method of treating a mammal having an indication of the invention, comprising: administering an effective amount of the compound of claim 1 to the mammal.
31. The method of claim 30, wherein the indication is selected from hypertension, reduced vascular compliance, diastolic dysfunction and heart failure.
32. The method of claim 30, wherein the indication is hypertension.
33. The method of claim 32, wherein the hypertension is isolated systolic hypertension.
34. The method of claim 32, wherein the hypertension is systolic hypertension.
35. The method of claim 30, wherein the indication is reduced vascular compliance.

- 36. The method of claim 30, wherein the indication is diastolic dysfunction.
- 37. The method of claim 30, wherein the indication is heart failure.
- 38. The method of claim 30, wherein the indication is diastolic heart failure.
- 39. A method of treating a mammal having an indication of the invention, comprising: administering an amount of the compound of the formula:



wherein:

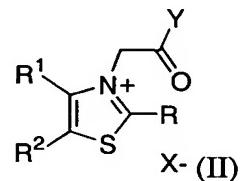
R is hydrogen, methyl, hydroxymethyl or α -hydroxyethyl;

R^1 and R^2 are independently selected from hydrogen, C₁-C₆ alkyl, C₁-C₆ hydroxyalkyl, C₃-C₈ cycloalkyl, C₁-C₆ alkenyl, C₁-C₆ alkynyl, amino, monoalkylamino, dialkylaminoalkyl, and pyrrolidin-1-ylalkyl; and

Y is selected from the group consisting of C₁-C₆ alkyl, substituted and unsubstituted aryl;

or a pharmaceutically acceptable salt thereof;

effective to obtain a therapeutically effective amount of the compound of the formula:



wherein:

R, R¹, R² and Y are as described above; and

X⁻ is an anion.

- 40. The method of claim 39, wherein at least one of R¹ and R² is C₁-C₆ alkyl.
- 41. The method of claim 39, wherein Y is unsubstituted phenyl.

42. The method of claim 41, wherein R is hydrogen, and R¹ and R² are methyl.
43. The method of claim 41, wherein R is α-hydroxyethyl, and R¹ and R² are methyl.
44. The method of claim 39, wherein the indication is selected from hypertension, reduced vascular compliance, diastolic dysfunction and heart failure.
45. The method of claim 44, wherein the indication is hypertension.
46. The method of claim 45, wherein the hypertension is isolated systolic hypertension.
47. The method of claim 45, wherein the hypertension is systolic hypertension.
48. The method of claim 44, wherein the indication is reduced vascular compliance.
49. The method of claim 44, wherein the indication is diastolic dysfunction.
50. The method of claim 44, wherein the indication is heart failure.
51. The method of claim 44, wherein the indication is diastolic heart failure.